

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
29 September 2005 (29.09.2005)

PCT

(10) International Publication Number  
**WO 2005/090318 A1**

- (51) International Patent Classification<sup>7</sup>: **C07D 241/02**, 405/04 (74) Agent: **ASTRAZENECA**; Global Intellectual Property, S-151 85 Södertälje (SE).
- (21) International Application Number: PCT/SE2005/000416 (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (22) International Filing Date: 22 March 2005 (22.03.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 0400759-7 23 March 2004 (23.03.2004) SE
- (71) Applicant (for all designated States except US): **ASTRAZENECA AB** [SE/SE]; S-151 85 Södertälje (SE).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **DEKHANE, Mouloud** [GB/GB]; AstraZeneca Pharmaceuticals, Silk Road Business Park, Macclesfield Cheshire SK10 2NA (GB). **NORTON, Alison** [GB/GB]; AstraZeneca Pharmaceuticals, Silk Road Business Park, Macclesfield Cheshire SK10 2NA (GB). **O'KEARNEY-MCMULLAN, Anne** [IE/GB]; AstraZeneca Pharmaceuticals, Silk Road Business Park, Macclesfield Cheshire SK10 2NA (GB). **ROBINSON, Graham** [GB/GB]; AstraZeneca Pharmaceuticals, Silk Road Business Park, Macclesfield Cheshire SK10 2NA (GB).
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:  
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL AMINATION PROCESS

(57) Abstract: Provided herein are novel processes for the preparation of intermediates that may be useful in the preparation of 5-Ht<sub>1b</sub> receptor antagonist useful in the treatment of depression, anxiety and other related diseases. The present processes may offer improved yields, purity, ease of preparation and isolation of intermediates and final product and more industrially useful reaction conditions and workability.

WO 2005/090318 A1